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L7   ANSWER 1 OF 8  WPIDS   COPYRIGHT 1996 DERWENT INFORMATION LTD
PI   WO 9607649  A1 960314 (9617)* EN   68 pp    C07D265-32          <--
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L7   ANSWER 2 OF 8  WPIDS   COPYRIGHT 1996 DERWENT INFORMATION LTD
PI   WO 9605181  A1 960222 (9615)* EN   77 pp    C07D265-32          <--
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L7   ANSWER 3 OF 8  WPIDS   COPYRIGHT 1996 DERWENT INFORMATION LTD
PI   WO 9523798  A1 950908 (9601)* EN  322 pp    C07D413-06          <--
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     AU 9519750  A  950918 (9601)                C07D413-06
     ZA 9501780  A  951227 (9605)      325 pp    C07D000-00
     US 5512570  A  960430 (9623)       76 pp    A61K031-535

L7   ANSWER 4 OF 8  WPIDS   COPYRIGHT 1996 DERWENT INFORMATION LTD
PI   WO 9530674  A1 951116 (9551)* EN   45 pp    C07D413-06          <--
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     AU 9523493  A  951129 (9609)                C07D413-06

L7   ANSWER 5 OF 8  WPIDS   COPYRIGHT 1996 DERWENT INFORMATION LTD
PI   US 5457107  A  951010 (9546)*      10 pp    A61K031-535         <--
     GB 2293168  A  960320 (9615)       36 pp    C07D413-06

L7   ANSWER 6 OF 8  WPIDS   COPYRIGHT 1996 DERWENT INFORMATION LTD
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PI   WO 9518124  A1 950706 (9533)* EN  149 pp    C07D413-06          <--
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     FI 9501762  A  951013 (9601)                C07D413-06
     ZA 9410317  A  951129 (9601)      146 pp    C07D000-00

L7   ANSWER 7 OF 8  WPIDS   COPYRIGHT 1996 DERWENT INFORMATION LTD
PI   WO 9516679  A1 950622 (9530)* EN  320 pp    C07D265-32          <--
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     AU 9514375  A  950703 (9542)                C07D265-32

L7   ANSWER 8 OF 8  WPIDS   COPYRIGHT 1996 DERWENT INFORMATION LTD
PI   EP 577394   A1 940105 (9402)* EN   96 pp    C07D265-32          <--
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     WO 9400440  A1 940106 (9403)  EN  236 pp    C07D265-32
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     AU 9341568  A  940106 (9408)                C07D265-30
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     AU 9346561  A  940124 (9420)                C07D265-32
     JP 06172178 A  940621 (9429)       75 pp    A61K031-535
     ZA 9304624  A  940831 (9435)      231 pp    C07D000-00
     FI 9406133  A  941228 (9512)                C07D000-00
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     CN 1087902  A  940615 (9531)                C07D265-30
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L6   ANSWER 1 OF 10  CAPLUS  COPYRIGHT 1996 ACS
PY   1996

L6   ANSWER 2 OF 10  CAPLUS  COPYRIGHT 1996 ACS
PY   1996

L6   ANSWER 3 OF 10  CAPLUS  COPYRIGHT 1996 ACS
PY   1996

L6   ANSWER 4 OF 10  CAPLUS  COPYRIGHT 1996 ACS
PY   1995

L6   ANSWER 5 OF 10  CAPLUS  COPYRIGHT 1996 ACS
PY   1995

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PY   1995

L6   ANSWER 7 OF 10  CAPLUS  COPYRIGHT 1996 ACS
PY   1995

L6   ANSWER 8 OF 10  CAPLUS  COPYRIGHT 1996 ACS
PY   1995

L6   ANSWER 9 OF 10  CAPLUS  COPYRIGHT 1996 ACS
PY   1994

L6   ANSWER 10 OF 10  CAPLUS  COPYRIGHT 1996 ACS
PY   1994

=> d l6 9-10 bib abs
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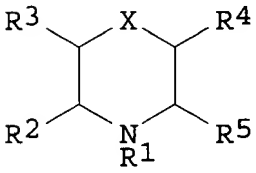
L6 ANSWER 9 OF 10 CAPLUS COPYRIGHT 1996 ACS
AN 1995:252333 CAPLUS
DN 122:56044
TI Morpholine and thiomorpholine tachykinin receptor antagonists
IN Dorn, Conrad P.; Hale, Jeffrey J.; Maccoss, Malcolm; Mills, Sander G.; Ladduwahetty, Tamara; Shah, Shrenik K.
PA Merck and Co., Inc., USA
SO Eur. Pat. Appl., 96 pp.
CODEN: EPXXDW
PI EP 577394 A1 940105
DS R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE
AI EP 93-305086 930629
PRAI US 92-905976 920629
US 92-971448 921104
US 93-61914 930519
DT Patent
LA English
OS MARPAT 122:56044
GI



I

AB Substituted heterocycles I [R1-R3 = H, substituted (e.g., substituted-Ph, -amino, heterocyclyl, etc.) or unsubstituted-C1-6 alkyl; R1 and R2 may join together to form a heterocyclic ring; R4 = substituted-phenylalkyloxy; R5 = Ph, substituted-Ph, substituted (substituted-Ph, -amino, etc.) or unsubstituted-C1-8 alkyl; X = O, S] were prepd, and are tachykinin receptor antagonists useful in the treatment of inflammatory diseases, pain or migraine, and asthma and calcium channel blockers useful in the treatment of cardiovascular conditions such as angina, hypertension or ischemia (no data). A representative prepd. example is (+/-)-2-(3,5-bis(trifluoromethyl)benzyloxy)-3-phenylmorpholine.

L6 ANSWER 10 OF 10 CAPLUS COPYRIGHT 1996 ACS
AN 1995:63847 CAPLUS
DN 122:55968

TI Morpholine-based substance P antagonists: assessment of the 3-point binding model

AU Ladduwahetty, T.; Keown, L.; Cascieri, M. A.; Sadowski, S.

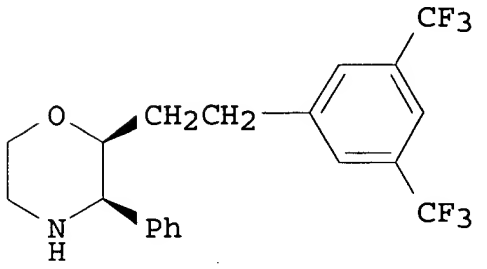
CS Neurosci. Res. Cent., Merck Sharp and Dohme Res. Lab., Harlow/Essex, CM20 2QR, UK

SO Bioorg. Med. Chem. Lett. (1994), 16(4), 1917-20
CODEN: BMCLE8; ISSN: 0960-894X

DT Journal

LA English

GI



I

AB The carbon-linked morpholine analogs, e.g. I, of the Substance P antagonist CP-99,994 were synthesized. The activities of the resulting compds. suggest that there is a specific interaction between the benzylic heteroatom of these antagonists and the NK1 receptor.

=> d l6 10 hitstr

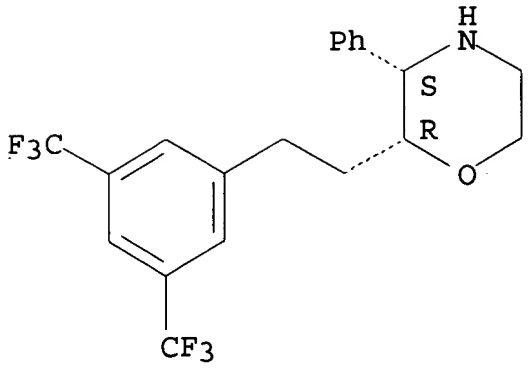
L6 ANSWER 10 OF 10 CAPLUS COPYRIGHT 1996 ACS

IT 159924-18-2P 159924-20-6P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of morpholine-based substance P antagonists)

RN 159924-18-2 CAPLUS

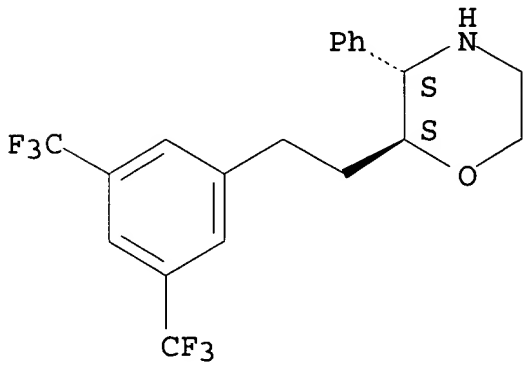
CN Morpholine, 2-[2-[3,5-bis(trifluoromethyl)phenyl]ethyl]-3-phenyl-, cis-(.+-.)- (9CI) (CA INDEX NAME)

Racemate. One enantiomer shown.



RN 159924-20-6 CAPLUS
CN Morpholine, 2-[2-[3,5-bis(trifluoromethyl)phenyl]ethyl]-3-phenyl-, trans-(.+-.)- (9CI) (CA INDEX NAME)

Racemate. One enantiomer shown.



=> d l6 1-9 cbib

L6 ANSWER 1 OF 10 CAPLUS COPYRIGHT 1996 ACS
1996:428441 Document No. 125:114657 Preparation of arylorpholine derivatives as tachykinin antagonists.. Finke, Paul; Harrison, Timothy; Lewis, Richard Thomas; Macleod, Angus Murray; Owens, Andrew Pate (Merck Sharp & Dohme Limited, UK). PCT Int. Appl. WO 9607649 A1 960314, 66 pp. DESIGNATED STATES: W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO

95-GB2039 950830. PRIORITY: GB 94-17956 940902.

L6 ANSWER 2 OF 10 CAPLUS COPYRIGHT 1996 ACS
1996:404626 Document No. 125:86654 Preparation of N-(aminobutynyl)morpholines as tachykinin antagonists. Harrison, Timothy; Owens, Andrew Pate; Swain, Christopher John (Merck Shapr and Dohme Limited, UK; Swain, Christopher, John). PCT Int. Appl. WO 9605181 A1 960222, 78 pp. DESIGNATED STATES: W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 95-GB1868 950807. PRIORITY: GB 94-16427 940815; GB 95-9605 950511.

L6 ANSWER 3 OF 10 CAPLUS COPYRIGHT 1996 ACS
1996:202936 Document No. 124:278532 2(S)-((3,5-Bis(trifluoromethyl)benzyl)oxy)-3(S)-phenyl-4-((3-oxo-1,2,4-triazol-5-yl)methyl)morpholine): A Potent, Orally Active, Morpholine-Based Human Neurokinin-1 Receptor Antagonist. Hale, Jeffrey J.; Mills, Sander G.; MacCoss, Malcolm; Shah, Shrenik K.; Qi, Hongbo; Mathre, David J.; Cascieri, Margaret A.; Sadowski, Sharon; Strader, Catherine D.; et al. (Merck Research Laboratories, Rahway, NJ, 07065, USA). J. Med. Chem., 39(9), 1760-2 (English) 1996. CODEN: JMCMAR. ISSN: 0022-2623. OTHER SOURCES: CJACS-IMAGE; CJACS.

L6 ANSWER 4 OF 10 CAPLUS COPYRIGHT 1996 ACS
1996:155505 Document No. 124:202285 Morpholine derivatives and their use as antagonists of tachykinins. Haworth, Karen Elizabeth; Teall, Martin Richard; Seward, Eileen Mary; Swain, Christopher John (Merck Sharp and Dohme Ltd., UK). PCT Int. Appl. WO 9530674 A1 951116, 44 pp. DESIGNATED STATES: W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TT, UA; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 95-GB983 950501. PRIORITY: GB 94-8960 940505; GB 94-8963 940505.

L6 ANSWER 5 OF 10 CAPLUS COPYRIGHT 1996 ACS
1995:994556 Document No. 124:146177 Preparation of morpholine tachykinin receptor antagonist prodrugs. Dorn, Conrad P.; Hale, Jeffrey J.; MacCoss, Malcolm; Mills, Sander G. (Merck and Co., Inc., USA). PCT Int. Appl. WO 9523798 A1 950908, 325 pp. DESIGNATED

STATES: W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TT, UA, US, UZ; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 95-US2551 950228. PRIORITY: US 94-206771 940304.

L6 ANSWER 6 OF 10 CAPLUS COPYRIGHT 1996 ACS

1995:969422 Document No. 124:29770 Preparation of arylmorpholine derivatives as tachykinin antagonists.. Baker, Raymond; Harrison, Timothy; Macleod, Angus Murray; Owens, Andrew Pate; Seward, Eileen Mary; Swain, Christopher John; Teall, Martin Richard (Merck Sharp and Dohme Ltd., UK). PCT Int. Appl. WO 9518124 A1 950706, 148 pp. DESIGNATED STATES: W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 94-GB2819 941223. PRIORITY: GB 93-26480 931229; GB 94-7189 940412; GB 94-8065 940422; GB 94-16428 940815.

L6 ANSWER 7 OF 10 CAPLUS COPYRIGHT 1996 ACS

1995:943459 Document No. 124:29769 Preparation of (thio)morpholines as tachykinin receptor antagonists. Dorn, Conrad P.; Finke, Paul E.; Hale, Jeffrey J.; MacCoss, Malcolm; Mills, Sander G.; Shah, Shrenik K.; Chambers, Mark Stuart; Harrison, Timothy; Ladduwahetty, Tamara; Williams, Brian John (Merck and Co., Inc., USA). PCT Int. Appl. WO 9516679 A1 950622, 319 pp. DESIGNATED STATES: W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MN, NO, NZ, PL, RO, RU, SI, SK, TJ, TT, UA, US, UZ; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 94-US14497 941213. PRIORITY: US 93-169889 931217.

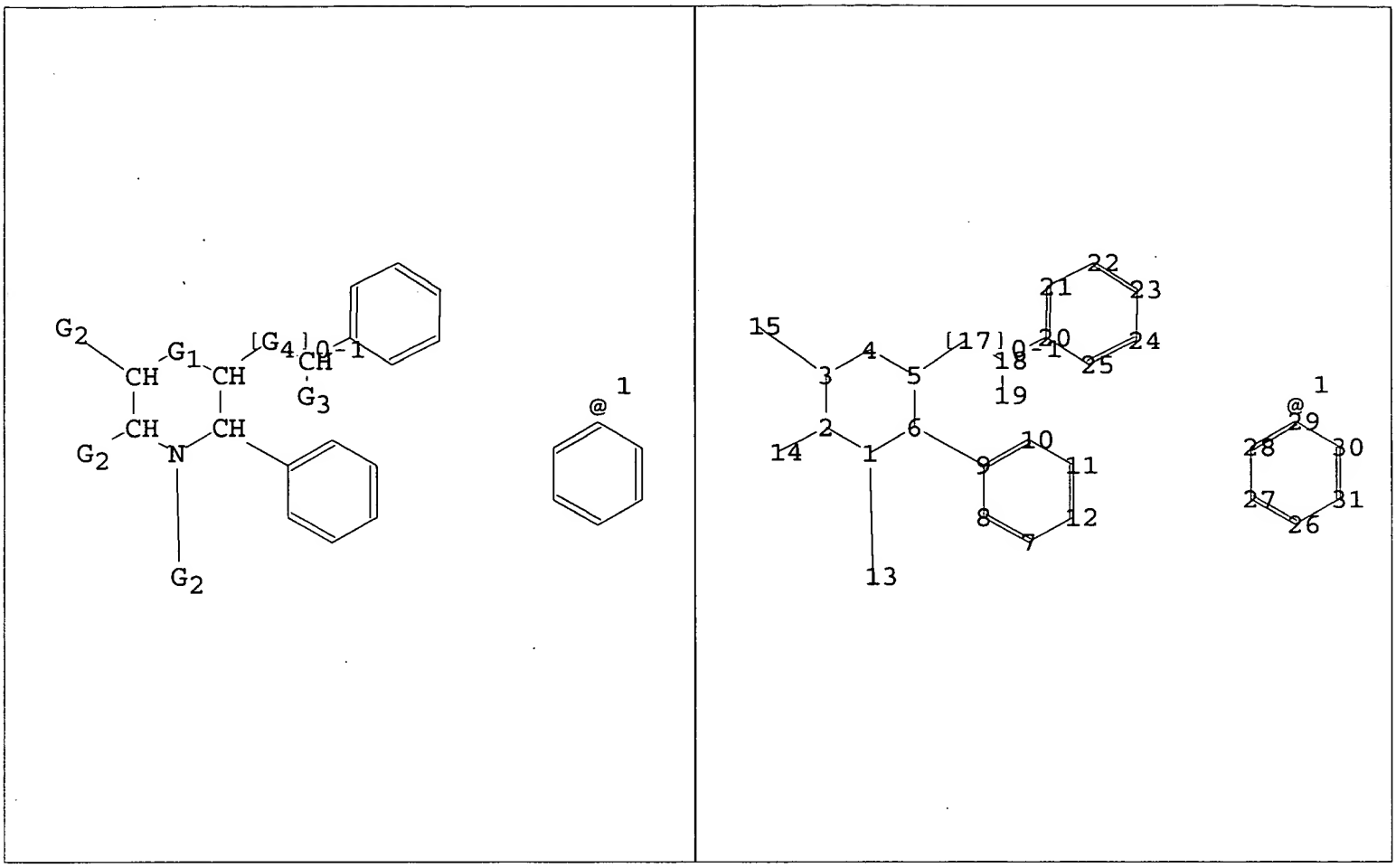
L6 ANSWER 8 OF 10 CAPLUS COPYRIGHT 1996 ACS

1995:913755 Document No. 124:117332 Polymorphic form of 2-(S)-[3,5-bis(trifluoromethyl)benzyloxy]-4-[3-(5-oxo-1H,4H-1,2,4-triazolo)methyl]-3-(S)-phenylmorpholine, a tachykinin receptor antagonist. Kaufman, Michael J. (Merck and Co., Inc., USA). U.S. US 5457107 A 951010, 10 pp. (English). CODEN: USXXAM. APPLICATION: US 94-307962 940916.

L6 ANSWER 9 OF 10 CAPLUS COPYRIGHT 1996 ACS

1995:252333 Document No. 122:56044 Morpholine and thiomorpholine tachykinin receptor antagonists. Dorn, Conrad P.; Hale, Jeffrey J.; Maccoss, Malcolm; Mills, Sander G.; Ladduwahetty, Tamara; Shah, Shrenik K. (Merck and Co., Inc., USA). Eur. Pat. Appl. EP 577394 A1 940105, 96 pp. DESIGNATED STATES: R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE. (English). CODEN: EPXXDW. APPLICATION: EP 93-305086 930629. PRIORITY: US 92-905976 920629; US 92-971448 921104; US 93-61914 930519.

STN Structure : 525259.str



chain nodes :
13 14 15 17 18 19
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 20 21 22 23 24 25 26 27 28 29 30 31
chain bonds :
1-13 2-14 3-15 5-17 6-9 17-18 18-19 18-20
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 20-21 20-25 21-22 22-23 23-24 24-25 26-27 26-31 27-28 28-29 29-30 30-31
exact/norm bonds :
1-2 1-6 1-13 2-3 2-14 3-4 3-15 4-5 5-6 5-17 6-9 17-18 18-19 18-20
normalized bonds :
7-8 7-12 8-9 9-10 10-11 11-12 20-21 20-25 21-22 22-23 23-24 24-25 26-27 26-31 27-28 28-29 29-30 30-31
isolated ring systems :
containing 1 :

G1 O,S

G2 H,Ak,[*1]

G3 Me,Et,n-Pr,i-Pr,n-Bu,i-Bu,s-Bu,t-Bu,H

G4 C,O,S

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom
10:Atom 11:Atom 12:Atom 13:Class 14:Class 15:Class 17:Class
18:Class 19:Class 20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom
26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom